

=> fil reg capl

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.20	543.19
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.54

FILE 'REGISTRY' ENTERED AT 17:06:27 ON ~~10 JUL 1999~~
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 1999 American Chemical Society (ACS)

FILE 'CAPLUS' ENTERED AT 17:06:27 ON 10 JUL 1999
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 1999 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que l12

L9 STR
/ Structure 1 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

L11 10 SEA FILE=REGISTRY SSS FUL L9
L12 2 SEA FILE=CAPLUS L11

=> d que l13

L9 STR
/ Structure 2 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

L11 10 SEA FILE=REGISTRY SSS FUL L9
L13 0 SEA FILE=BEILSTEIN L11

=> d l12 ibib iabs hitstr total

L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:604913 CAPLUS
DOCUMENT NUMBER: 129:216617
TITLE: Preparation of
amidinophenylethylbenzimidazolylcarboxa
mides and related compounds as thrombin inhibitors.
INVENTOR(S): Huel, Norbert; Ries, Uwe; Priepe, Henning; Wienen,
Wolfgang; Stassen, Jean Marie
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837075	A1	19980827	WO 98-EP865	19980216
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
DE 19706229	A1	19980820	DE 97-19706229	19970218
AU 9863991	A1	19980909	AU 98-63991	19980216
PRIORITY APPLN. INFO.:			DE 97-19706229	19970218
			DE 97-19751939	19971124
			WO 98-EP865	19980216

OTHER SOURCE(S): MARPAT 129:216617

ABSTRACT:

Ra-A-Het-B-Ar-E [A = CO, SO₂; B = CH₂CH₂, OCH₂, SCH₂, SOCH₂, SO₂CH₂, NR₁CH₂;R₁

= H, alkyl; E = cyano, RbNHC(:NH); Rb = H, OH, alkyl, group cleavable in vivo;

Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrimidinylene, pyrazinylene, pyridazinylene; Het = specified bicyclic heterocyclyl; Ra = (substituted) alkyl, amino] were prepd. Thus, 1-methyl-2-[N-(4-amidinophenyl)aminomethyl]benzimidazol-5-ylcarboxylic acid N-(2-pyridyl)-N-(2-carboxyethyl)amide (prepn. given) gave a thrombin time ED₂₀₀

of 0.03 .mu.M.

IT 211914-41-9P 211914-42-0P 211914-57-7P

211915-08-1P 211915-09-2P 211915-10-5P

211915-11-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(prepn. of amidinophenylethylbenzimidazolylcarboxamides and related compds. as thrombin inhibitors)

RN 211914-41-9 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 3 in file .gra /

RN 211914-42-0 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

/ Structure 4 in file .gra /

RN 211914-57-7 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 5 in file .gra /

RN 211915-08-1 CAPLUS
CN Glycine,
N-[[2-[[[4-[imino[[2-(methylsulfonyl)ethoxy]carbonyl]amino]methyl
1]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-
pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 6 in file .gra /

/ Structure 7 in file .gra /

RN 211915-09-2 CAPLUS
CN Glycine,
N-[[2-[[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]am
ino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-,
methyl
ester (9CI) (CA INDEX NAME)

/ Structure 8 in file .gra /

RN 211915-10-5 CAPLUS
CN Glycine,
N-[[2-[[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]amino]meth
yl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester
(9CI) (CA INDEX NAME)

/ Structure 9 in file .gra /

RN 211915-11-6 CAPLUS
CN Glycine,
N-[[2-[[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]amino]meth
yl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester
(9CI) (CA INDEX NAME)

/ Structure 10 in file .gra /

IT **211916-38-0**
RL: RCT (Reactant)
(prepn. of amidinophenylethylbenzimidazolylcarboxamides and related
compds. as thrombin inhibitors)
RN 211916-38-0 CAPLUS
CN Glycine, N-[[2-[[[4-(cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-
yl]carbonyl]-N-2-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)

/ Structure 11 in file .gra /

IT **211915-82-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amidinophenylethylbenzimidazolylcarboxamides and related
compds. as thrombin inhibitors)
RN 211915-82-1 CAPLUS

CN Glycine, N-[[2-[[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 12 in file .gra /

L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1998:558825 CAPLUS

DOCUMENT NUMBER: 129:189325

TITLE: Preparation of

2-(amidinoanilinomethyl)benzimidazole-5-carboxamides and analogs as antithrombotics

INVENTOR(S): Huel, Norbert; Ries, Uwe; Priepke, Henning; Wienen, Wolfgang; Stassen, Jean Marie

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 62 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19706229	A1	19980820	DE 97-19706229	19970218
WO 9837075	A1	19980827	WO 98-EP865	19980216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9863991	A1	19980909	AU 98-63991	19980216
PRIORITY APPLN. INFO.:			DE 97-19706229	19970218
			DE 97-19751939	19971124
			WO 98-EP865	19980216
OTHER SOURCE(S):			MARPAT 129:189325	
GRAPHIC IMAGE:				

/ Structure 13 in file .gra /

ABSTRACT:

RaAHetBArE [I; A = CO or SO₂; B = ZCH₂ or CH₂Z; E = cyano or C(:NH)NHR_b; Ar = (un)substituted phenylene or -naphthylene; Het = e.g., heteroarylene residue II; Ra = (cyclo)alkyl, (di)(alkyl)amino, etc.; R_b = H, OH, alkyl, etc.; X = N or (alkyl-substituted) CH; Y = O, S, (alkyl)imino, etc.; Z = CH₂, O, SO₀₋₂] were prepd. Thus, PhNHCH₂CH₂CO₂Et was amidated by 4-aminomethyl-3-nitrobenzoyl chloride and the reduced product cyclocondensed with 4-(NC)C₆H₄NHCH₂CO₂H to give, after hydrolysis and sapon., title compd. III. Data for biol. activity of I were given.

IT 211914-41-9P 211914-42-0P 211914-57-7P
211915-08-1P 211915-09-2P 211915-10-5P

211915-11-6P 211915-49-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(amidinoanilinomethyl)benzimidazole-5-carboxamides and analogs as antithrombotics)

RN 211914-41-9 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 14 in file .gra /

RN 211914-42-0 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

/ Structure 15 in file .gra /

RN 211914-57-7 CAPLUS

CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

/ Structure 16 in file .gra /

RN 211915-08-1 CAPLUS

CN Glycine,
N-[[2-[[[4-[imino[[2-(methylsulfonyl)ethoxy]carbonyl]amino]methyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 17 in file .gra /

/ Structure 18 in file .gra /

RN 211915-09-2 CAPLUS

CN Glycine,
N-[[2-[[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)

/ Structure 19 in file .gra /

RN 211915-10-5 CAPLUS

CN Glycine,
N-[[2-[[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 20 in file .gra /

RN 211915-11-6 CAPLUS
 CN Glycine,
 N-[[2-[[[4-[(ethoxycarbonyl)amino]iminomethyl]phenyl]amino]methy
 l]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-N-2-pyridinyl-, methyl ester
 (9CI) (CA INDEX NAME)

/ Structure 21 in file .gra /

RN 211915-49-0 CAPLUS
 CN Glycine, N-[[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-
 benzimidazol-5-yl]carbonyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

/ Structure 22 in file .gra /

IT **211916-38-0**
 RL: RCT (Reactant)
 (prepn. of 2-(amidinoanilinomethyl)benzimidazole-5-carboxamides and
 analogs as antithrombotics)
 RN 211916-38-0 CAPLUS
 CN Glycine, N-[[2-[[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-
 yl]carbonyl]-N-2-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)

/ Structure 23 in file .gra /

IT **211915-82-1P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of 2-(amidinoanilinomethyl)benzimidazole-5-carboxamides and
 analogs as antithrombotics)
 RN 211915-82-1 CAPLUS
 CN Glycine, N-[[2-[[[4-cyanophenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-
 yl]carbonyl]-N-2-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

/ Structure 24 in file .gra /

=> log h

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	8.72	551.91
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.07	-1.61

SESSION WILL BE HELD FOR 60 MINUTES
 STN INTERNATIONAL SESSION SUSPENDED AT 17:08:04 ON 10 JUL 1999